

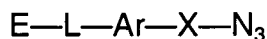
skin cancer, lupus of the skin, and condylomata of female genitalia. Over the years, the combination of psoralens and ultraviolet A (low-energy) radiation has been used to treat a wide variety of dermatological diseases including psoriasis, parapsoriasis, cutaneous T-cell lymphoma, eczema, vitiligo, areata, and neonatal bilirubinemia. Although the potential of cancer phototherapy has been recognized since the early 1900's, systematic studies to demonstrate safety and efficacy began only in 1967 with the treatment of breast carcinoma. In 1975, Dougherty et al. conclusively established that long-term cure is possible with photodynamic therapy (PDT). Currently, phototherapeutic methods are also being investigated for the treatment of some cardiovascular disorders such as atherosclerosis and vascular restenosis, for the treatment of rheumatoid arthritis, and for the treatment of some inflammatory diseases such as Chron's disease.

a1
cont

Replace the paragraph beginning at Page 6, line 20, to read as follows:

The present invention discloses novel, organic azide derivatives and their bioconjugates for phototherapy of tumors and other lesions. More specifically, the present invention discloses organic azide compounds having the formula:

a²



N_3 is the azide moiety that produces nitrene upon photoactivation. Ar is a chromophore that undergoes sensitization. This chromophore (Ar) is an aromatic or a heteroaromatic radical derived from the group consisting of benzenes, polyfluorobenzenes, naphthalenes, naphthoquinones, anthracenes, anthraquinones, phenanthrenes, tetracenes, naphthacenediones, pyridines, quinolines, isoquinolines, indoles, isoindoles, pyrroles, imidazoles, pyrazoles, pyrazines, purines, benzimidazoles, benzofurans, dibenzofurans, carbazoles, acridines, acridones, phenanthridines, thiophenes, benzothiophenes, dibenzothiophenes, xanthenes, xanthonenes, flavones, coumarins, and anthacylines. E is an epitope and is selected from the group consisting of somatostatin receptor binding molecules, ST receptor binding molecules, neurotensin receptor binding molecules, bombesin receptor binding molecules, CCK receptor binding molecules, steroid receptor binding molecules, and carbohydrate receptor binding molecules. L is a linker between the chromophore and the epitope and is selected from the group consisting of $-(CH_2)_a-$, $-(CH_2)_bCONR^1-$, $-N(R^2)CO(CH_2)_c-$, $-OCO(CH_2)_d-$, $-(CH_2)_eCO_2-$, $-OCONH-$, $-OCO_2-$, $-HNCONH-$, $-HNCSNH-$, $-HNNHCO-$, $-OSO_2-$, $-NR^3(CH_2)_eCONR^4-$, $-CONR^5(CH_2)_iNR^6CO-$, and $-NR^7CO(CH_2)_gCONR^8-$. X is either a single bond or is selected from the group consisting of $-(CH_2)_h-$, $-OCO-$, $-HNCO-$, $-(CH_2)_jCO-$, and $-(CH_2)_jOCO-$. R^1 to R^8 are independently selected from the group consisting of hydrogen, C1-C10 alkyl, -OH, C1-C10 polyhydroxyalkyl, C1-C10 alkoxy, C1-C10

a²
cont
alkoxyalkyl, -SO₃H, -(CH₂)_kCO₂H, and -(CH₂)_lNR⁹R¹⁰. R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C5-C10 aryl, and C1-C10 polyhydroxyalkyl. a to l independently range from 0 to 10.

Replace the paragraph beginning at Page 12, line 21, to read as follows:

a³
In a second embodiment, azides according to the present invention have the general formula shown above wherein Ar is selected from the group consisting of tetrafluorobenzenes, phenanthridines, xanthenes, anthraquinones, acridines, and acridones; E [a] selected from the group consisting of octreotide and octreotate peptides, heat-sensitive bacterioendotoxin receptor binding peptides, carcinoembryonic antigen antibody (anti-CEA), bombesin receptor binding peptide, neurotensin receptor binding peptide, cholecystekinin receptor binding peptide, and estrogen steroids; L is selected from the group consisting of -HNCO-, -CONR¹-, -HNCSNH-, -HNNHCO-, -(CH₂)_aCONR¹-, -CONR¹(CH₂)_aNR²CO-; and R¹ and R² are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C1-C5 polyhydroxyalkyl; and a, b, and c independently range from 0 to 6.
